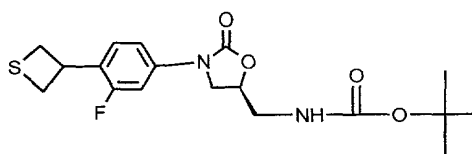


wherein  $R^1 = 3,5\text{-difluoro-4-(4-thiomorpholinyl)phenyl}$ ,  $R^3 = \text{t-butyl}$ , 0.457 g, (41%):  
Silica gel TLC  $R_f = 0.38$  (5:95 methanol: methylene chloride);  $^1\text{H NMR}$  (400 MHz,  
CDCl<sub>3</sub>)  $\delta$ : 1.42 (s, 9 H), 2.75 (s, 4 H), 3.36 (t,  $J = 4$  Hz, 4 H), 3.52 m, 2 H), 3.79 (t,  $J$   
= 7 Hz, 1 H), 3.97 (t,  $J = 9$  Hz, 1 H), 4.74 (m, 1 H), 4.94 (m, 1 H), 7.10 (d,  $J = 11$  Hz,  
5 2 H); MS (ESI+) for C<sub>19</sub>H<sub>25</sub>F<sub>2</sub>N<sub>3</sub>O<sub>4</sub>S  $m/z$  430 (M+H)<sup>+</sup>, 452 (M+Na)<sup>+</sup>

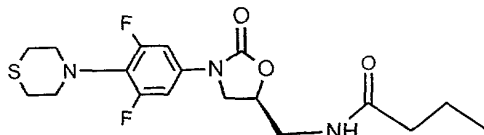
### EXAMPLE 13



#### 10 Preparation of tert-butyl {(5S)-3-[3-fluoro-4-(3-thietanyl)phenyl]-2-oxo-1,3-oxazolidin-5-yl}methylcarbamate (Compound III, $R^1 = 3\text{-fluoro-4-(3-thietanyl)phenyl}$ , $R^3 = \text{t-butyl}$ )

15 To a solution of benzyl 3-fluoro-4-(3-thietanyl)phenylcarbamate  
(0.406 g, 1.28 mmol) and tert-butyl (2S)-3-chloro-2-hydroxypropylcarbamate  
(Example 3) (0.322 g, 1.54 mmol, 1.2 eq) in DMF (1 ml) in an ice bath was added a  
solution of lithium t-butoxide in THF (1 M, 3.1 ml, 3.1 mmol, 2.4 eq). The resultant  
solution was stirred at room temperature for 1 day. The mixture was partitioned  
20 between aqueous ammonium chloride and methylene chloride. The aqueous was  
washed 3 times with methylene chloride, dried on sodium sulfate and concentrated to  
a brown oil. The resulting oil was purified by column chromatography (ethyl acetate/  
hexanes eluent) to afford Compound III, wherein  $R^1 = 3\text{-fluoro-4-(3-thietanyl)phenyl}$ ,  
 $R^3 = \text{t-butyl}$ , 0.360 g, (73.5%): Silica gel TLC  $R_f = 0.28$  (30:70 ethyl acetate: hexanes);  
25  $^1\text{H NMR}$  (400 MHz, CDCl<sub>3</sub>)  $\delta$ : 1.41 (s, 9 H), 3.36 (t,  $J = 9$  Hz, 2 H), 3.54 (m, 2 H),  
3.62 (+,  $J = 9$  Hz, 2 H), 3.843 (+,  $J = 7$  Hz, 1 H), 4.02 (+,  $J = 9$  Hz, 1 H), 4.78 (m, 2  
H), 4.95 (s, 1 H), 7.21 (d,  $J = 9$  Hz, 1 H), 7.37 (d,  $J = 8$  Hz, 1 H), 7.42 (d,  $J = 10$  Hz, 1  
H); MS (ESI+) for C<sub>18</sub>H<sub>23</sub>FN<sub>2</sub>O<sub>4</sub>S  $m/z$  405 (M+Na)<sup>+</sup>.

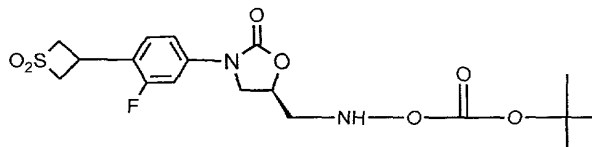
**EXAMPLE 14**



**5 Preparation of N-((5S)-3-[3,5-difluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methylpropanamide (Compound X, R<sup>1</sup> = 3,5-difluoro-4-(4-thiomorpholinyl)phenyl, R<sup>5</sup>=propionyl)**

10 To a solution of tert-butyl {5S)-3-[3,5-difluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methylcarbamate (Example 12) (0.457 g, 1.06 mmol) in methylene chloride (10 ml) was added trifluoroacetic acid (5 ml). After 1 h at 20 to 25 °C, the reaction mixture was concentrated under reduced pressure. Methylene chloride (10 ml), pyridine (1.0 ml) and propionic anhydride  
15 (0.84 ml, 5.4 mmol, 6 eq) were added and the mixture stirred for 20 h at 20-24 °C. Methylene chloride and aqueous hydrochloric acid (1 M) were added and the phases separated. The organics were washed with hydrochloric acid (1 M) until acidic. The combined organics were washed with aqueous sodium bicarbonate and saturated aqueous sodium chloride solutions, dried on sodium sulfate, and concentrated to give  
20 Compound X, wherein R<sup>1</sup> = 3,5-difluoro-4-(4-thiomorpholinyl)phenyl, R<sup>5</sup>=propionyl as a white solid (0.388 g, 94.8%); <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 1.13 (t, J=8 Hz, 3 H), 2.25 (q, J=7 Hz, 2 H), 2.28 (s, 4 H), 3.36 (s, 4 H), 3.70 (m, 3 H), 3.99 (t, J=9 Hz, 1 H), 4.77 (m, 1 H), 5.91 (s, 1 H), 7.09 (m, 2 H).

**EXAMPLE 15**



**Preparation of tert-butyl {(5S)-3-[4-(1,1-dioxido-3-thietanyl)-3-fluorophenyl]-2-oxo-1,3-oxazolidin-5-yl}methylcarbamate (Compound III, R<sup>1</sup> = 4-(1,1-dioxido-3-thietanyl)-3-fluorophenyl, R<sup>3</sup>=t-butyl)**

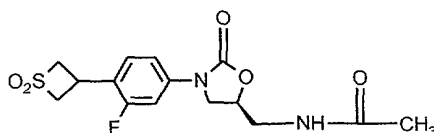
5 To a mixture of tert-butyl {(5S)-3-[3-fluoro-4-(3-thietanyl)phenyl]-2-oxo-1,3-oxazolidin-5-yl}methylcarbamate (Example 13) (0.155g, 0.41 mmol), water (1.25 ml) and acetone (3.75 ml) was added N-methylmorpholine-N-oxide (0.145g, 1.21 mmol, 3.0 eq) and a solution of osmium tetroxide in tertiary butyl alcohol (0.080 M, 0.1ml, 0.008 mmol, 0.02 eq). The mixture was stirred for 24 h at 20-25°C and

10 saturated aqueous sodium bisulfite (20 ml) was added. The reaction mixture was extracted with methylene chloride (3 X 20 ml), the combined organics washed with saturated aqueous sodium chloride (3 X 10 ml), water (3 X 10 ml), and dried over sodium sulfate. Silica gel chromatography (methanol/methylene chloride eluent) gave Compound III, wherein R<sup>1</sup> = 4-(1,1-dioxido-3-thietanyl)-3-fluorophenyl, R<sup>3</sup>=t-

15 butyl (0.134 g, 78%); silica gel TLC R<sub>f</sub>=0.67(5% methanol/methylene chloride); <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 1.41 (s, 9 H), 3.50 (m, 2 H), 3.86 (t, J=7 Hz, 1 H), 23 Hz, 2 H), 3.97 (t, J=9 Hz, 1 H), 4.03 (t, J=9 Hz, 1 H), 4.29 (dd, J=8, 11 Hz, 2 H), 4.51 (dd, J=9, 23 Hz, 2 H), 4.78 (m, 1 H), 5.01 (s, 1 H), 7.22 (dd, J=2, 10 Hz, 1 H), 7.35 (m, 1 H), 7.55 (dd, J=2, 13 Hz, 1 H); MS (ESI-) m/z (413, M-H).

20

**EXAMPLE 16**



25 **Preparation of N-({(5S)-3-[4-(1,1-dioxido-3-thietanyl)-3-fluorophenyl]-2-oxo-1,3-oxazolidin-5-yl}methyl)acetamide (Compound X, R<sup>1</sup> = 4-(1,1-dioxido-3-thietanyl)-3-fluorophenyl, R<sup>5</sup>=acetyl)**

To a solution of tert-butyl {(5S)-3-[4-(1,1-dioxido-3-thietanyl)-3-fluorophenyl]-2-oxo-1,3-oxazolidin-5-yl}methylcarbamate (Example 15) (0.134g, 0.32 mmol) in methylene chloride (2 ml) was added hydrochloric acid (4 M, 3ml, 12

30